10/796,226

STN - Structure Search 9.8.04

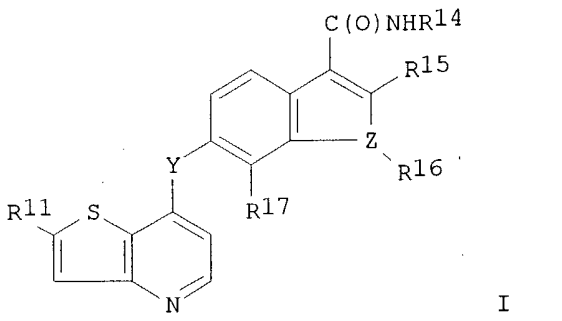
Inventors

=> d ibib abs hitstr

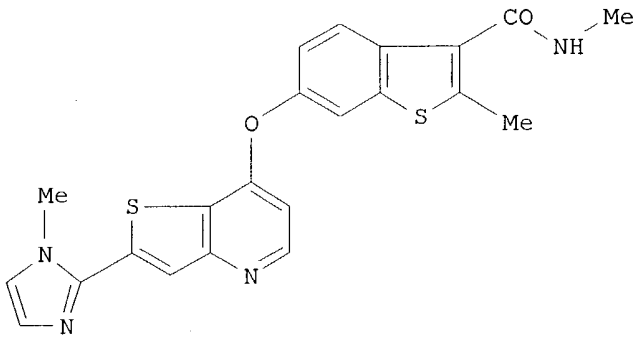
L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:1006988 CAPLUS
DOCUMENT NUMBER: 140:59632
TITLE: Preparation of benzofused heteroaryl amide derivatives of thienopyridines as tyrosine kinase inhibitors useful against hyperproliferative disorders
INVENTOR(S): Romines, William Henry, III; Kania, Robert Steven; Lou, Jihong; Collins, Michael Raymond; Cripps, Stephan James; He, Mingying; Zhou, Ru; Palmer, Cynthia Louise; Deal, Judith Gail
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: PCT Int. Appl., 194 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003106462	A1	20031224	WO 2003-IB2393	20030604
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004009965	A1	20040115	US 2003-460010	20030611
PRIORITY APPLN. INFO.:			US 2002-389110P P	20020614

OTHER SOURCE(S): MARPAT 140:59632
GI



I



II

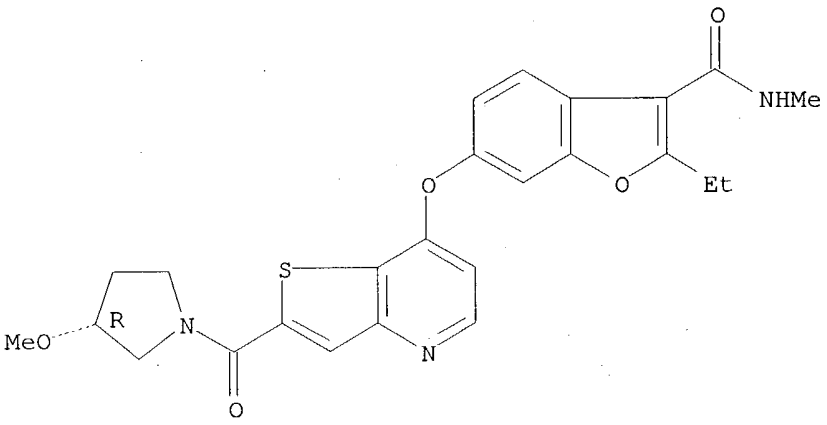
AB The invention relates to benzofused heteroaryl amide derivs. of thienopyridines (shown as I; variables defined below; e.g. II) and to prodrugs or metabolites thereof, or pharmaceutically acceptable salts or solvates of said compds., prodrugs, and metabolites. The invention also relates to pharmaceutical compns. containing I and to methods of treating hyperproliferative disorders in a mammal by administering I. Inhibitory activities of >200 examples of I are tabulated for a number of tyrosine kinases. Also, pharmacokinetics of 19 examples of I in mice and metabolism in human liver microsomes were analyzed. Although the methods of preparation are not claimed, 140 example prepns. are included. For example, II was prepared in 5 steps starting from 3-methoxybenzenethiol and bromoacetaldehyde di-Et acetal and involving intermediates 1-[(2,2-diethoxyethyl)sulfanyl]-3-methoxybenzene, 6-methoxy-2-methylbenzo[b]thiophene, 6-methoxy-2-methylbenzo[b]thiophene-3-carboxylic acid methylamide, and 6-hydroxy-2-methylbenzo[b]thiophene-3-carboxylic acid methylamide; the last step comprises reaction of 7-chloro-2-(1-methyl-1H-imidazol-2-yl)thieno[3,2-b]pyridine and 6-hydroxy-2-methylbenzo[b]thiophene-3-carboxylic acid methylamide (40 %). For I: Y is NH, O, S, or CH2; Z is O, S, or N; R14 is a C1-C6 alkyl, C1-C6 alkylamino, C1-C6 alkylhydroxy, C3-C10 cycloalkylamino, or methylureido group; R15 and R17 = H, halo, or a C1-C6 alkyl group (un)substituted by ≥1 R5 groups. R16 is H or a C1-C6 alkyl group when Z is N, and R16 is absent when Z is O or S; R11 is H, C1-C6 alkyl, C3-C10 cycloalkyl, C(O)NR12R3, C(O)(C6-C10 aryl), (CH2)t(C6-C10 aryl), (CH2)t(5 to 10 membered heterocyclic), (CH2)tNR12R13, SO2NR12R13 or CO2R12. Each R5 = halo, cyano, nitro, trifluoromethoxy, trifluoromethyl, azido, C(O)R8, C(O)OR8, OC(O)R8, OC(O)OR8, NR6C(O)R7, C(O)NR6R7, NR6R7, OR9, SO2NR6R7, C1-C6 alkyl, C3-C10 cycloalkyl, C1-C6 alkylamino, (CH2)jO(CH2)qNR6R7, (CH2)tO(CH2)qOR9, (CH2)tOR9, S(O)j(C1-C6 alkyl), (CH2)t(C6-C10 aryl), (CH2)t(5 to 10 membered heterocyclic), C(O)(CH2)t(C6-C10 aryl), (CH2)tO(CH2)j(C6-C10 aryl), (CH2)tO(CH2)q(5 to 10 membered heterocyclic), C(O)(CH2)t(5 to 10 membered heterocyclic), (CH2)jNR7(CH2)qN R6R7, (CH2)jNR7CH2C(O)NR6R7, (CH2)jNR7(CH2)qNR9C(O)R8, (CH2)jNR7(CH2)tO(CH2)qOR9, (CH2)jNR7(CH2)qS(O)j(C1-C6 alkyl),

(CH2)jNR7(CH2)tR6, SO2(CH2)t(C6-C10 aryl), and SO2(CH2)t(5 to 10 membered heterocyclic). Each R6 and R7 = H, OH, C1-C6 alkyl, C3-C10 cycloalkyl, (CH2)t(C6-C10 aryl), (CH2)t(5 to 10 membered heterocyclic), (CH2)tO(CH2)qOR9, (CH2)tCN(CH2)tOR9, (CH2)tCN(CH2)tR9 and (CH2)tOR9; each R8 = H, C1-C10 alkyl, C3-C10 cycloalkyl, (CH2)t(C6-C10 aryl), and (CH2)t(5 to 10 membered heterocyclic); t = 0-6; j = 0-2; q = 2-6; each R9 and R10 = H, OR6, C1-C6 alkyl, and C3-C10 cycloalkyl. Each R12 and R13 = H, C1-C6 alkyl, C3-C10 cycloalkyl, (CH2)t(C3-C10 cycloalkyl), (CH2)t(C6-C10 aryl), (CH2)t(5 to 10 membered heterocyclic), (CH2)tO(CH2)qOR9, and (CH2)tOR9; addnl. details including provisos are given in the claims.

IT **638217-13-7P 638217-23-9P**
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of benzofused heteroaryl amide derivs. of thienopyridines as tyrosine kinase inhibitors useful against hyperproliferative disorders)

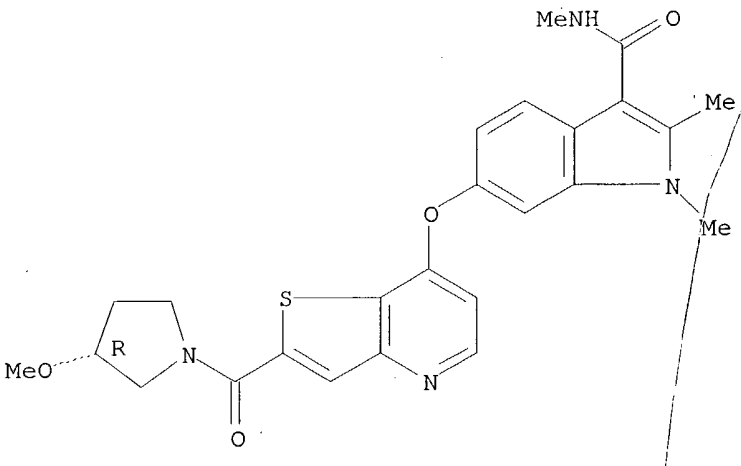
RN 638217-13-7 CAPLUS
CN 3-Benzofurancarboxamide, 2-ethyl-6-[[2-[[(3R)-3-methoxy-1-pyrrolidinyl]carbonyl]thieno[3,2-b]pyridin-7-yl]oxy]-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 638217-23-9 CAPLUS
CN 1H-Indole-3-carboxamide, 6-[[2-[[(3R)-3-methoxy-1-pyrrolidinyl]carbonyl]thieno[3,2-b]pyridin-7-yl]oxy]-N,1,2-trimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

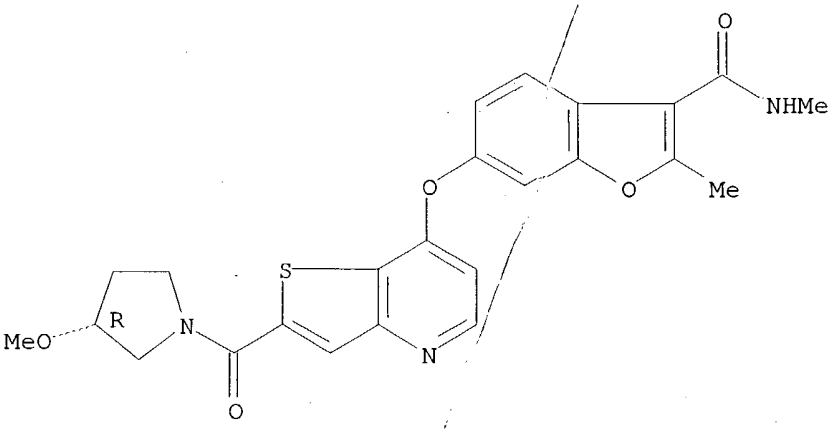


IT **638217-09-1P 638217-10-4P 638217-16-0P**
638217-21-7P 638217-22-8P 638217-24-0P
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of benzofused heteroaryl amide derivs. of thienopyridines as tyrosine kinase inhibitors useful against hyperproliferative disorders)

RN 638217-09-1 CAPLUS

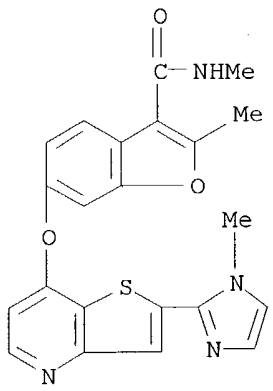
CN 3-Benzofurancarboxamide, 6-[[2-[[(3R)-3-methoxy-1-pyrrolidinyl]carbonyl]thieno[3,2-b]pyridin-7-yl]oxy]-N,2-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



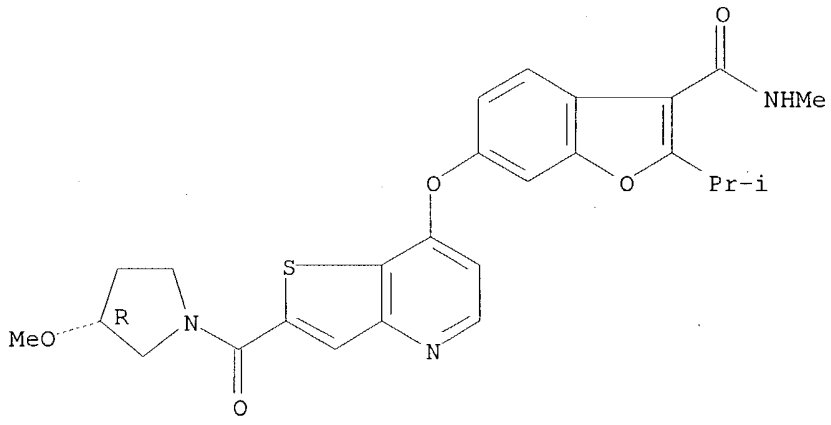
RN 638217-10-4 CAPLUS

CN 3-Benzofurancarboxamide, N,2-dimethyl-6-[[2-(1-methyl-1H-imidazol-2-yl)thieno[3,2-b]pyridin-7-yl]oxy]- (9CI) (CA INDEX NAME)



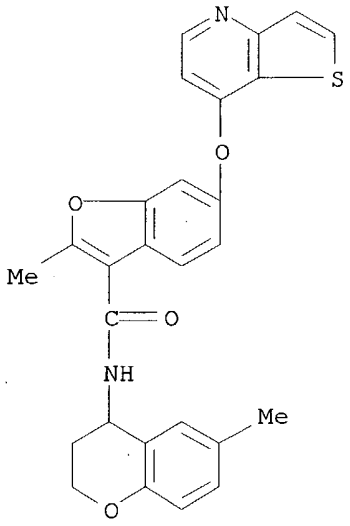
RN 638217-16-0 CAPLUS
CN 3-Benzofurancarboxamide, 6-[[2-[[(3R)-3-methoxy-1-pyrrolidinyl]carbonyl]thieno[3,2-b]pyridin-7-yl]oxy]-N-methyl-2-(1-methylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 638217-21-7 CAPLUS
CN 1H-Indole-3-carboxamide, 6-[[2-[[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]carbonyl]thieno[3,2-b]pyridin-7-yl]oxy]-N,1,2-trimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

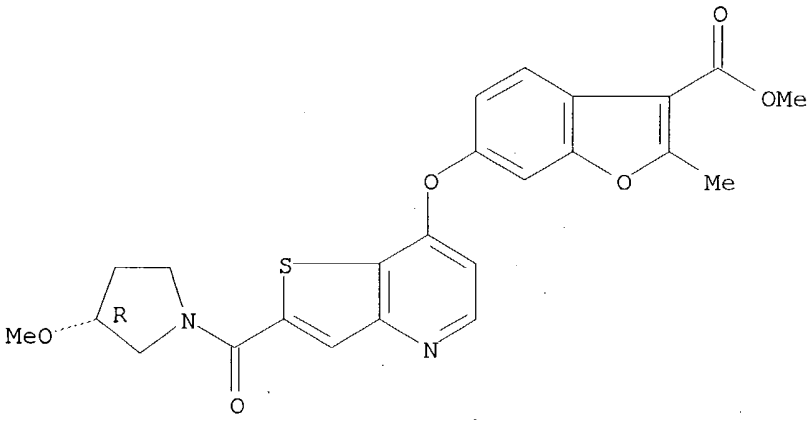


IT **638218-72-1P 638218-74-3P 638218-93-6P**
638218-95-8P 638218-97-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of benzofused heteroaryl amide derivs. of thienopyridines as tyrosine kinase inhibitors useful against hyperproliferative disorders)

RN 638218-72-1 CAPLUS

CN 3-Benzofurancarboxylic acid, 6-[[2-[[(3R)-3-methoxy-1-pyrrolidinyl]carbonyl]thieno[3,2-b]pyridin-7-yl]oxy]-2-methyl-, methyl ester (9CI) (CA INDEX NAME)

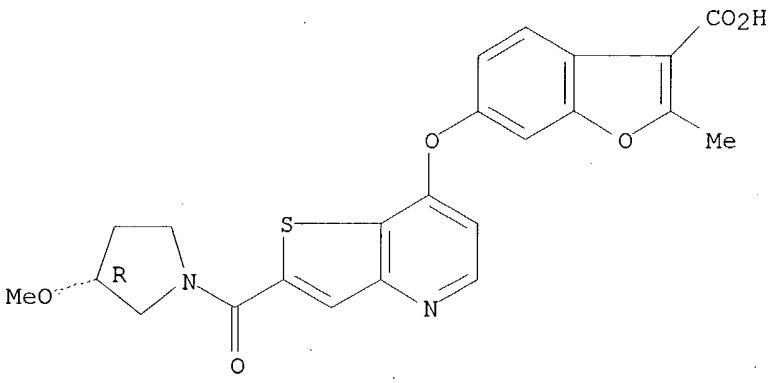
Absolute stereochemistry.



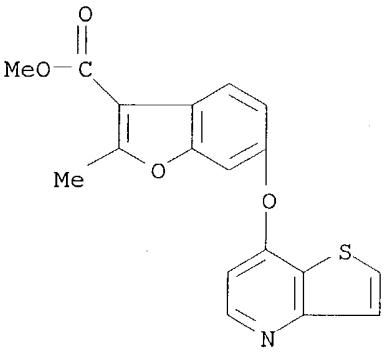
RN 638218-74-3 CAPLUS

CN 3-Benzofurancarboxylic acid, 6-[[2-[[(3R)-3-methoxy-1-pyrrolidinyl]carbonyl]thieno[3,2-b]pyridin-7-yl]oxy]-2-methyl- (9CI) (CA INDEX NAME)

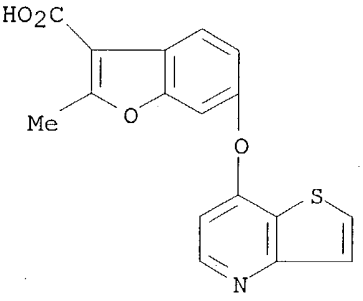
Absolute stereochemistry.



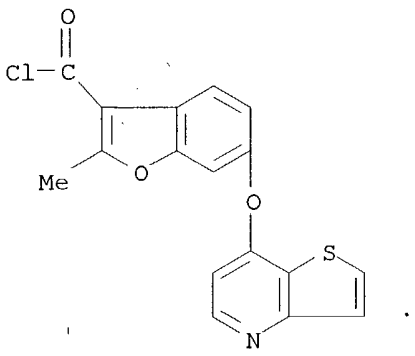
RN 638218-93-6 CAPLUS
CN 3-Benzofurancarboxylic acid, 2-methyl-6-(thieno[3,2-b]pyridin-7-yloxy)-, methyl ester (9CI) (CA INDEX NAME)



RN 638218-95-8 CAPLUS
CN 3-Benzofurancarboxylic acid, 2-methyl-6-(thieno[3,2-b]pyridin-7-yloxy)- (9CI) (CA INDEX NAME)



RN 638218-97-0 CAPLUS
CN 3-Benzofurancarbonyl chloride, 2-methyl-6-(thieno[3,2-b]pyridin-7-yloxy)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d re 1-3

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN
RE
(1) Autry, C; WO 03000194 A 2003 CAPLUS
(2) John, M; WO 9924440 A 1999 CAPLUS
(3) Joseph, L; WO 0194353 A 2001 CAPLUS

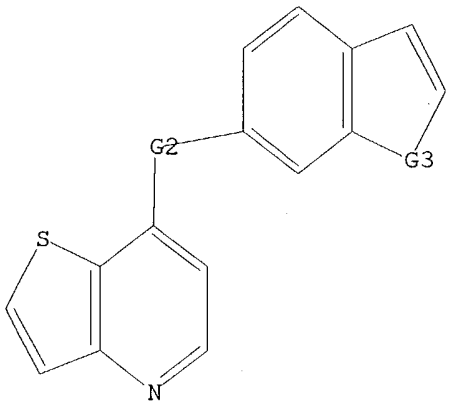
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L2 11 S L1
L3 187 S L1 FULL

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L4 1 S L3

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 O,S,N

G2 O,S,CH2,NH

G3 O,N

Structure attributes must be viewed using STN Express query preparation.

=>

PALM INTRANET

Day : Wednesday
Date: 9/8/2004
Time: 08:08:20

Inventor Name Search Result

Your Search was:

Last Name = COLLINS
First Name = MICHAEL

Application#	Patent#	Status	Date Filed	Title	Inventor Name 51
60525513	Not Issued	020	11/26/2003	LESION CHARACTERIZATION IN COMPUTER-AIDED DETECTION SYSTEMS	COLLINS, MICHAEL J.
60524838	Not Issued	020	11/25/2003	MULTIPLE IMAGE FUSION	COLLINS, MICHAEL J.
60500546	Not Issued	020	09/05/2003	COMPRESSOR PERFORMANCE APPROXIMATION USING BIN ANALYSIS DATA	COLLINS, MICHAEL
60349772	Not Issued	159	01/16/2002	PORTABLE COLOR READER AND DISPLAY DEVICE	COLLINS, MICHAEL
60334280	Not Issued	159	11/20/2001	CONTEXT ALGORITHMS / CONTEXTUAL CASE PROCESSING	COLLINS, MICHAEL J.
60327271	Not Issued	159	10/05/2001	MULTISENSOR/MULTISOURCE FUSION FOR AFFECTING USER QUALE	COLLINS, MICHAEL
60318978	Not Issued	159	09/10/2001	METHOD FOR IMPROVED REGION GROWING	COLLINS, MICHAEL
60247125	Not Issued	159	11/10/2000	LATERALLY ADJUSTABLE LOW PROFILE TRENCH-DIGGING MACHINE	COLLINS, MICHAEL LEWIS
60183458	Not Issued	159	02/18/2000	AT&T QUESTION ANSWERING SYSTEM	COLLINS, MICHAEL
60177059	Not Issued	159	01/21/2000	COMPOUNDS AND PHARMACEUTICAL COMPOSITIONS FOR INHIBITING PROTEIN KINASES, AND METHODS FOR THEIR USE	COLLINS, MICHAEL RAYMOND
29132424	Not Issued	168	11/08/2000	COLLAPSIBLE BLOW-MOLDED CHAIR	COLLINS, MICHAEL T.
29129737	D447478	150	09/20/2000	CASE FOR A HANDHELD COMPUTER SYSTEM	COLLINS, MICHAEL

10852621	Not Issued	020	05/24/2004	METHOD AND APPARATUS FOR RAPID FAT CONTENT DETERMINATION	COLLINS, MICHAEL J.
10850283	Not Issued	020	05/20/2004	PERSONAL SEVERE WEATHER WARNING MICROCHIP AND PRESSURE SENSOR	COLLINS, MICHAEL D.
10841442	Not Issued	020	05/10/2004	METHOD FOR INDICATING THE INTEGRITY OF A COLLECTION OF DIGITAL OBJECTS	COLLINS, MICHAEL
10834551	Not Issued	030	04/28/2004	COMPLETELY ISOLATED SYNCHRONOUS BOOST DC-TO-DC SWITCHING REGULATOR	COLLINS, MICHAEL JOHN
10820916	Not Issued	020	04/09/2004	REACTION AND TEMPERATURE CONTROL FOR HIGH POWER MICROWAVE-ASSISTED CHEMISTRY TECHNIQUES	COLLINS, MICHAEL J.
10801312	Not Issued	030	03/17/2004	LIQUID TOOTH WHITENING COMPOSITION	COLLINS, MICHAEL
10796226	Not Issued	030	03/09/2004	BENZOFUSED HETEROZRYL AMIDE DERIVATIVES OF THIENOPYRIDINES USEFUL AS THERAPEUTIC AGENTS, PHARMACEUTICAL COMPOSITIONS INCLUDING THE SAME, AND METHODS FOR THEIR USE	COLLINS, MICHAEL
10771208	Not Issued	020	02/02/2004	LOW PROFILE CONDENSER MOTOR	COLLINS, MICHAEL T.
10754065	Not Issued	030	01/07/2004	TOOTH WHITENING DENTAL TRAY AND METHOD OF USE	COLLINS, MICHAEL
10749483	Not Issued	030	12/31/2003	SLEEVE AND METHOD FOR USE WITH MODULAR ORTHOPAEDIC IMPLANTS	COLLINS, MICHAEL S.
10725841	Not Issued	093	12/02/2003	ESCAPE MUTANTS OF NEWCASTLE DISEASE VIRUS AS MARKER VACCINES	COLLINS, MICHAEL SINCLAIR
10723356	Not Issued	030	11/26/2003	MODULATING THE ELECTRIC FIELD OF A POWER TRANSMISSION LINE	COLLINS, MICHAEL T.
10709060	Not Issued	020	04/09/2004	CONTROLLED FLOW INSTRUMENT FOR MICROWAVE ASSISTED CHEMISTRY WITH HIGH VISCOSITY LIQUIDS AND HETEROGENEOUS MIXTURES	COLLINS, MICHAEL JOHN

10692963	Not Issued	030	10/24/2003	CASTELLATED WOOD BEAM AND METHOD OF ITS MANUFACTURE	COLLINS, MICHAEL J.
10682687	Not Issued	020	10/09/2003	METHODS FOR SENSOR INDEPENDENCE IN COMPUTER-AIDED DETECTION SYSTEMS	COLLINS, MICHAEL J.
10604022	Not Issued	020	06/23/2003	[MICROWAVE-ASSISTED PEPTIDE SYNTHESIS]	COLLINS, MICHAEL JOHN
10015156	6554427	150	12/11/2001	METHODS FOR DESIGNING CONTACT LENSES	COLLINS, MICHAEL J.
10010794	6789336	150	11/13/2001	LATERALLY ADJUSTABLE, LOW PROFILE TRENCH-DIGGING MACHINE	COLLINS, MICHAEL LEWIS
09928256	Not Issued	030	08/10/2001	METHOD AND SYSTEM FOR DATA TRANSFORMATION IN A HETEROGENEOUS COMPUTER SYSTEM	COLLINS, MICHAEL
09903345	Not Issued	161	07/10/2001	METHOD AND APPARATUS FOR DELIVERING DIGITAL MULTIMEDIA CONTENT	COLLINS, MICHAEL K.
09903220	Not Issued	030	07/10/2001	PERSONAL MULTIMEDIA DEVICE AND METHODS OF USE THEREOF	COLLINS, MICHAEL K.
09901505	Not Issued	161	07/09/2001	ROTATIONALLY STABILIZED CONTACT LENSES	COLLINS, MICHAEL J.
09849705	Not Issued	092	05/07/2001	CRANKCASE HEATER CONTROL	COLLINS, MICHAEL
09848199	6649836	150	05/04/2001	COMPRESSOR ELECTRONICS HOUSING	COLLINS, MICHAEL
09843352	6495755	150	04/26/2001	SELF-LOCKING WIRING GROMMET	COLLINS, MICHAEL T.
09818506	6497627	150	03/28/2001	GOLF SWING INSTRUCTIONAL DEVICE AND METHOD	COLLINS, MICHAEL D.
09784690	6505260	150	02/15/2001	COMPUTER SYSTEM WITH ADAPTIVE MEMORY ARBITRATION SCHEME	COLLINS, MICHAEL J.
09737090	Not Issued	161	12/14/2000	ARROW SUPPORT SYSTEM	COLLINS, MICHAEL
09732646	Not Issued	161	12/08/2000	COMPOSITE SURFACE CONTACT LENSES	COLLINS, MICHAEL J.
09707446	Not Issued	161	11/07/2000	INFORMATION RETRIEVAL SYSTEMS FOR RETRIEVING	COLLINS, MICHAEL

				DIRECT ANSWERS TO QUESTIONS	
09690489	Not Issued	161	10/17/2000	METHOD AND APPARATUS FOR CAKE DECORATION	COLLINS, MICHAEL
09677191	6616279	150	10/02/2000	METHOD AND APPARATUS FOR MEASURING WAVEFRONT ABERRATIONS	COLLINS, MICHAEL J.
09665392	6380899	150	09/20/2000	CASE WITH COMMUNICATION MODULE HAVING A PASSIVE RADIATOR FOR A HANDHELD COMPUTER SYSTEM	COLLINS, MICHAEL
09665390	6525928	150	09/20/2000	CASE WITH COMMUNICATION MODULE HAVING A LATCHING CONNECTOR FOR A HANDHELD COMPUTER SYSTEM	COLLINS, MICHAEL
09654990	6446124	150	05/15/2000	CONFIGURABLE SYSTEM FOR REMOTELY MANAGING COMPUTERS	COLLINS, MICHAEL G.
09603487	6548303	150	06/23/2000	METHOD AND APPARATUS FOR RAPID FAT CONTENT DETERMINATION	COLLINS, MICHAEL J.
09602762	Not Issued	095	06/23/2000	COMPUTER AIDED DETECTION OF MASSES AND CLUSTERED MICROCALCIFICATIONS WITH SINGLE AND MULTIPLE INPUT IMAGE CONTEXT CLASSIFICATION STRATEGIES	COLLINS, MICHAEL J.
09584305	6294772	150	05/31/2000	MICROWAVE PROBE APPLICATOR FOR PHYSICAL AND CHEMICAL PROCESSES	COLLINS, MICHAEL J.
09485109	6791990	150	06/12/2000	PRIORITY SELECTION MEANS FOR DATA TRANSMISSION APPARATUS	COLLINS, MICHAEL PATRICK ROBERT

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Search Another: Inventor

Last Name Collins **First Name** Michael

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